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INTERNATIONAL PRELIMINARY EXAMINATION REPORT
(PCT Article 36 and Rule 70)

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| Applicant's or agent's file reference RLL-283WO | FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416) | |
| International application No. PCT/IB 02/05591 | International filing date (<i>day/month/year</i>) 23.12.2002 | Priority date (<i>day/month/year</i>) 23.12.2002 |
| International Patent Classification (IPC) or both national classification and IPC C07D405/12 | | |
| Applicant RANBAXY LABORATORIES LIMITED et al. | | |

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 4 sheets, including this cover sheet.
- ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).
- These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:
- I ☒ Basis of the opinion
 - II ☐ Priority
 - III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
 - IV ☐ Lack of unity of invention
 - V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
 - VI ☐ Certain documents cited
 - VII ☐ Certain defects in the international application
 - VIII ☐ Certain observations on the international application

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| Date of submission of the demand 22.07.2004 | Date of completion of this report 10.01.2005 |
| Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465 | Authorized Officer Lauro, P Telephone No. +49 89 2399-8288  |

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT****JC20 Rec'd PCT/PTO 22 JUN 2005**
International application No. PCT/IB 02/05591**I. Basis of the report**

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, Pages

1-16 as originally filed

Claims, Numbers

1-18 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).
3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:
- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.
4. The amendments have resulted in the cancellation of:
- ☐ the description, pages:
- ☐ the claims, Nos.:
- ☐ the drawings, sheets:
5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/IB 02/05591

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement**

1. Statement

| | | |
|-------------------------------|-------------|-----------|
| Novelty (N) | Yes: Claims | 1-18 |
| | No: Claims | |
| Inventive step (IS) | Yes: Claims | |
| | No: Claims | 1-18 |
| Industrial applicability (IA) | Yes: Claims | 1-4,11-18 |
| | No: Claims | 5-10 |

2. Citations and explanations

see separate sheet

Re Item V

1. Reference is made to the following documents:

D1: EP-A-0267319
D2: EP-A-0108986
D3: EP-A-0801067
D4: J. Med. Chem. (2002), 45(4), 984-987
D5: EP-A-0072620

2. **Novelty**

The compounds of the present application show an azabicyclo ring which is not present in the compounds disclosed in the above cited documents of the prior art. Novelty can therefore be acknowledged.

3. **Inventive step**

The problem underlying the present application appears to reside in the provision of flavaxate derivatives useful in the treatment of muscarinic receptor mediated diseases.

D1 discloses dihydrobenzopyranone derivatives which possess muscarinic antagonist activity. D2 discloses flavone-8-carboxamide derivatives useful in the treatment of diseases of the urinary system, which fall under the diseases treated by antimuscarinic drugs. D3 and D4 show that essential structural features of muscarinic antagonists are an aromatic moiety attached via a linking group to a nitrogen-containing cyclic ring. As the prior art indicates that for the aromatic moiety a variety of different ring are suitable as well as for the nitrogen containing ring and taking also into account that benzopyranone rings are already indicated as suitable aromatic ring for muscarinic antagonist agents, the provision of the compounds of formula (I) as muscarinic antagonists is considered as being obvious. In the absence of evidence showing unexpected effects of the compounds of the present application compared to the structurally closest compounds of the prior art, no inventive step can be acknowledged.

4. Other observations:

- Claims 5-10 are directed to a method of treatment of the human body by therapy.

REC'D 11 JAN 2005

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**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. **PCT/IB 02/05591**

I. Basis of the report

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| | No: Claims | |
| Inventive step (IS) | Yes: Claims | |
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| Industrial applicability (IA) | Yes: Claims | 1-4,11-18 |
| | No: Claims | 5-10 |

2. Citations and explanations

see separate sheet

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/IB 02/05591

Re Item V

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